(FILE 'HOME' ENTERED AT 09:48:23 ON 18 SEP 2002)

FILE 'REGISTRY' ENTERED AT 09:48:35 ON 18 SEP 2002
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L3 13 S L1 SSS FULL

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S L1

FILE 'REGISTRY' ENTERED AT 09:51:14 ON 18 SEP 2002
L4 0 S L1

FILE 'CAPLUS' ENTERED AT 09:51:15 ON 18 SEP 2002
L5 0 S L4

FILE 'CAPLUS' ENTERED AT 09:51:41 ON 18 SEP 2002
L6 19 S L3

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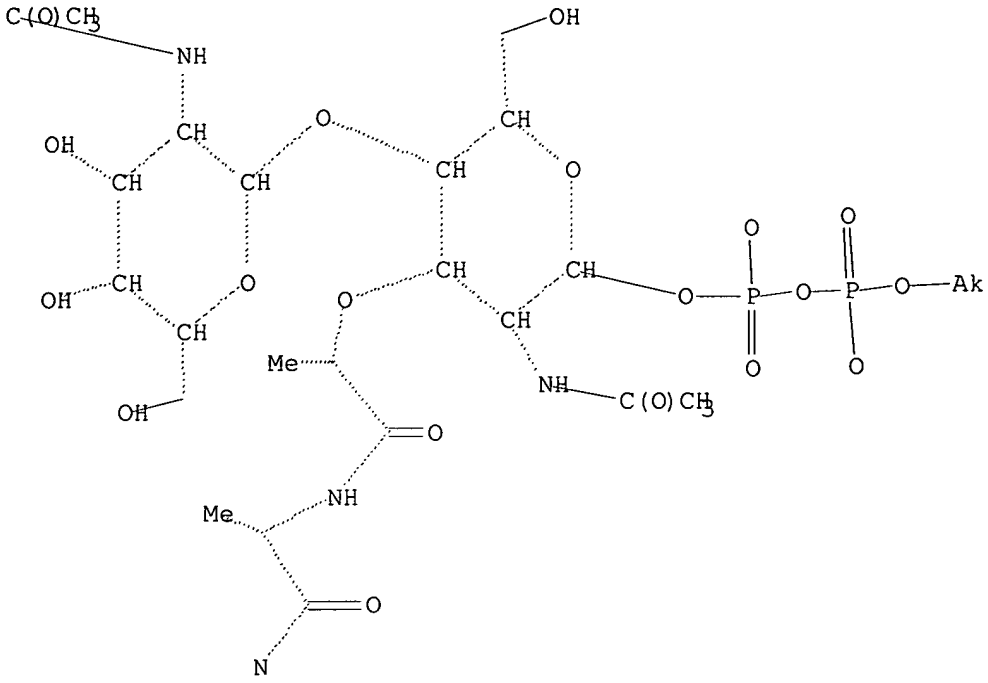


L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:388049 CAPLUS
DOCUMENT NUMBER: 137:121340
TITLE: Mapping the Targeted Membrane Pore Formation Mechanism by Solution NMR: The Nisin Z and Lipid II Interaction in SDS Micelles
AUTHOR(S): Hsu, Shang-Te; Breukink, Eefjan; de Kruijff, Ben; Kaptein, Robert; Bonvin, Alexandre M. J. J.; Van Nuland, Nico A. J.
CORPORATE SOURCE: Department of NMR Spectroscopy Bijvoet Center for Biomolecular Research and Department of Biochemistry of Membranes Center for Biomembranes and Lipid Enzymology Institute for Biomembranes, Utrecht University, Utrecht, 3584CH, Neth.
SOURCE: Biochemistry (2002), 41(24), 7670-7676
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Nisin is an example of type-A lantibiotics that contain cyclic lanthionine rings and unusual dehydrated amino acids. Among the numerous pore-forming antimicrobial peptides, type-A lantibiotics form an unique family of post-translationally modified peptides. Via the recognition of cell wall precursor lipid II, nisin has the capacity to form pores against Gram-pos. bacteria with an extremely high activity in the nanomolar (nM) range. Here we report a high-resoln. NMR spectroscopy study of nisin/lipid II interactions in SDS micelles as a model membrane system in order to elucidate the mechanism of mol. recognition at residue level. The binding to lipid II was studied through 15N-1H HSQC titrn., backbone amide proton temp. coeff. anal., and heteronuclear 15N{1H}-NOE relaxation dynamics expts. Upon the addn. of lipid II, significant changes were monitored in the N-terminal part of nisin. An extremely low amide proton temp. coeff. (.DELTA..delta./.DELTA.T) was found for the amide proton of Ala3 (> -0.1 ppb/K) in the complex form. This suggests tight hydrogen bonding and/or isolation from the bulk solvent for this residue. Large chem. shift perturbations were also obsd. in the first two rings. In contrast, the C-terminal part of nisin was almost unaffected. This part of the mol. remains flexible and solvent-exposed. On the basis of our results, a multistep pore-forming mechanism is proposed. The N-terminal part of nisin first binds to lipid II, and a subsequent structural rearrangement takes place. The C-terminal part of nisin is possibly responsible for the activation of the pore formation. In light of the emerging antibiotic resistance problems, an understanding of the specific recognition mechanism of nisin with lipid II at the residue specific level may therefore aid in the development of novel antibiotics.
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:361085 CAPLUS
DOCUMENT NUMBER: 137:90768
TITLE: Anchoring of surface proteins to the cell wall of Staphylococcus aureus: III. Lipid II is an in vivo peptidoglycan substrate for sortase-catalyzed surface protein anchoring
AUTHOR(S): Perry, Adrienne M.; Ton-That, Hung; Mazmanian, Sarkis K.; Schneewind, Olaf
CORPORATE SOURCE: Committee on Microbiology, University of Chicago, Chicago, IL, 60637, USA
SOURCE: Journal of Biological Chemistry (2002), 277(18), 16241-16248
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology

DOCUMENT TYPE: Journal
LANGUAGE: English
AB Surface proteins of Staphylococcus aureus are anchored to the cell wall peptidoglycan by a mechanism requiring a C-terminal sorting signal with an LPXTG motif. Surface proteins are first synthesized in the bacterial cytoplasm and then transported across the cytoplasmic membrane. Cleavage of the N-terminal signal peptide of the cytoplasmic surface protein P1 precursor generates the extracellular P2 species, which is the substrate for the cell wall anchoring reaction. Sortase, a membrane-anchored transpeptidase, cleaves P2 between the threonine (T) and the glycine (G) of the LPXTG motif and catalyzes the formation of an amide bond between the carboxyl group of threonine and the amino group of cell wall cross-bridges. We have used metabolic labeling of staphylococcal cultures with [32P]phosphoric acid to reveal a P3 intermediate. The 32P-label of immunopptd. surface protein is removed by treatment with lysostaphin, a glycyl-glycine endopeptidase that separates the cell wall anchor structure. Furthermore, the appearance of P3 is prevented in the absence of sortase or by the inhibition of cell wall synthesis. 32P-Labeled cell wall anchor species bind to nisin, an antibiotic that is known to form a complex with lipid II. Thus, it appears that the P3 intermediate represents surface protein linked to the lipid II peptidoglycan precursor. The data support a model whereby lipid II-linked polypeptides are incorporated into the growing peptidoglycan via the transpeptidation and transglycosylation reactions of cell wall synthesis, generating mature cell wall-linked surface protein.
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:326820 CAPLUS
DOCUMENT NUMBER: 137:75164
TITLE: Intrinsic Lipid Preferences and Kinetic Mechanism of Escherichia coli MurG
AUTHOR(S): Chen, Lan; Men, Hongbin; Ha, Sha; Ye, Xiang-Yang; Brunner, Livia; Hu, Yanan; Walker, Suzanne
CORPORATE SOURCE: Department of Chemistry, Princeton University, Princeton, NJ, 08544, USA
SOURCE: Biochemistry (2002), 41(21), 6824-6833
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB MurG, the last enzyme involved in the intracellular phase of peptidoglycan synthesis, is a membrane-assocd. glycosyltransferase that couples N-acetyl glucosamine to the C4 hydroxyl of a lipid-linked N-acetyl muramic acid deriv. (lipid I) to form the .beta.-linked disaccharide (lipid II) that is the minimal subunit of peptidoglycan. Lipid I is anchored to the bacterial membrane by a 55 carbon undecaprenyl chain. Because this long lipid chain impedes kinetic anal. of MurG, we have been investigating alternative substrates contg. shortened lipid chains. We now describe the intrinsic lipid preferences of MurG and show that the optimal substrate for MurG in the absence of membranes is not the natural substrate. Thus, while the undecaprenyl carrier lipid may be crit. for certain steps in the biosynthetic pathway to peptidoglycan, it is not required-in fact, is not preferred-by MurG. Using synthetic substrate analogs and products contg. different length lipid chains, as well as a synthetic dead-end acceptor analog, we have also shown that MurG follows a compulsory ordered Bi Bi mechanism in which the donor sugar binds first. This information should facilitate obtaining crystals of MurG with substrates bound, an important goal because MurG belongs to a major superfamily of NDP-glycosyltransferases for which no structures contg. intact substrates have yet been solved.
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:266937 CAPLUS
DOCUMENT NUMBER: 136:382681
TITLE: Further evidence that a cell wall precursor [C55-MurNAc-(peptide)-GlcNAc] serves as an acceptor in a sorting reaction
AUTHOR(S): Ruzin, Alexey; Severin, Anatoly; Ritacco, Frank; Tabei, Keiko; Singh, Guy; Bradford, Patricia A.; Siegel, Marshall M.; Projan, Steven J.; Shlaes, David M.
CORPORATE SOURCE: Wyeth-Ayerst Research, Pearl River, NY, 10965, USA
SOURCE: Journal of Bacteriology (2002), 184(8), 2141-2147
CODEN: JOBAAY; ISSN: 0021-9193
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Previous studies suggested that a Gly-contg. branch of cell wall precursor [C55-MurNAc-(peptide)-GlcNAc], which is often referred to as lipid II, might serve as a nucleophilic acceptor in sortase-catalyzed anchoring of surface proteins in Staphylococcus aureus. To test this hypothesis, we first simplified the procedure for in vitro biosynthesis of Gly-contg. lipid II by using branched UDP-MurNAc-hexapeptide isolated from the cytoplasm of Streptomyces spp. Second, we designed a thin-layer chromatog.-based assay in which the mobility of branched but not linear lipid II is shifted in the presence of both sortase and LPSTG-contg. peptide. These results and those of addnl. expts. presented in this study further suggest that lipid II indeed serves as a natural substrate in a sorting reaction.
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:262135 CAPLUS
DOCUMENT NUMBER: 137:17263
TITLE: Identification of compounds that inhibit late steps of peptidoglycan synthesis in bacteria
AUTHOR(S): DeCenzo, Maureen; Kuranda, Mike; Cohen, Seth; Babiak, John; Jiang, Zhi-Dong; Sun, Dongyu; Hickey, Mark; Sancheti, Praveen; Bradford, Patricia A.; Youngman, Phil; Projan, Steve; Rothstein, David M.
CORPORATE SOURCE: Millennium Pharmaceuticals, Inc., Cambridge, MA, USA
SOURCE: Journal of Antibiotics (2002), 55(3), 288-295
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A screening system is described that can detect and confirm inhibitors of the late steps of cell wall biosynthesis. The primary high through-put screen monitors induction of .beta.-lactamase following exposure to samples, in an Escherichia coli envA- strain that carries the .beta.-lactamase gene from Citrobacter freundii on a plasmid. Pos. samples were detected from compd. libraries, from natural products libraries, and from fractions of natural products crude prepns. These samples were then subjected to in vitro assays that could detect the incorporation of sol. cell wall precursor into Lipid I, Lipid II, and polymd. cell wall, using a TLC system that was very accurate and unambiguous in detecting known cell wall inhibitors. One partially purified sample contg. a novel antibacterial agent derived from natural products was found to inhibit the formation of Lipid I (50% inhibition at .ltoreq.62.5 ng/mL), whereas another partially purified sample also derived from natural products inhibited transglycosylation into cell wall polymer (50% inhibition at .ltoreq.10 .mu.g/mL). This screening system proved to be esp. useful because it was sufficiently sensitive and robust

to detect inhibitors among samples of crude prepns. or varying states of purity.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:182730 CAPLUS
DOCUMENT NUMBER: 136:340992
TITLE: The First Total Synthesis of Lipid II: The Final Monomeric Intermediate in Bacterial Cell Wall Biosynthesis
AUTHOR(S): VanNieuwenhze, Michael S.; Mauldin, Scott C.; Zia-Ebrahimi, Mohammad; Winger, Brian E.; Hornback, William J.; Saha, Shankar L.; Aikins, James A.; Blaszczak, Larry C.
CORPORATE SOURCE: Department of Pharmaceutical and Analytical Chemistry, Lilly Research Laboratories, A Division of Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of the American Chemical Society (2002), 124(14), 3656-3660
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Bacterial peptidoglycan is composed of a network of .beta.-[1,4]-linked glycan strands that are cross-linked through pendant peptide chains. The final product, the murein sacculus, is a single, covalently closed macromol. that precisely defines the size and shape of the bacterial cell. The recent increase in bacterial resistance to cell wall active agents has led to a resurgence of activity directed toward improving our understanding of the resistance mechanisms at the mol. level. The biosynthetic enzymes and their natural substrates can be invaluable tools in this endeavor. While modern exptl. techniques have led to isolation and purifn. of the biosynthetic enzymes utilized in peptidoglycan biosynthesis, securing useful quantities of their requisite substrates from natural substrates has remained problematic. In an effort to address this issue, we report the first total synthesis of lipid II, the final monomeric intermediate utilized by Gram pos. bacteria for peptidoglycan biosynthesis.

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:804102 CAPLUS
DOCUMENT NUMBER: 136:98937
TITLE: Lipid II: Total synthesis of the bacterial cell wall precursor and utilization as a substrate for glycosyltransfer and transpeptidation by penicillin binding protein (PBP) 1b of Escherichia coli
AUTHOR(S): Schwartz, Benjamin; Markwalder, Jay A.; Wang, Yi
CORPORATE SOURCE: Department of Chemical and Physical Sciences, DuPont Pharmaceuticals Company, Wilmington, DE, 19880, USA
SOURCE: Journal of the American Chemical Society (2001), 123(47), 11638-11643
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An essential feature in the life cycle of both Gram-pos. and Gram-neg. bacteria is the prodn. of new cell wall. Also known as murein, the cell wall is a two-dimensional polymer, consisting of a linear, repeating N-acetylmuramic acid (MurNAc) and N-acetylglucosamine (GlcNAc) motif, cross-linked via peptides appended to MurNAc. The final steps in the maturation of murein are catalyzed by a single, bifunctional enzyme, known

as a high MW, class A penicillin binding protein (PBP). PBPs catalyze polymn. of the sugar units (glycosyltransfer), as well as peptide crosslinking (transpeptidation) utilizing lipid II as substrate. Detailed enzymol. on this enzyme has been limited, due to difficulties in obtaining sufficient amts. of lipid II, as well as the availability of a convenient and informative assay. The authors report the total chem. synthesis of lipid II, as well as the development of an appropriate assay system and the observation of both catalytic transformations.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2002 ACS

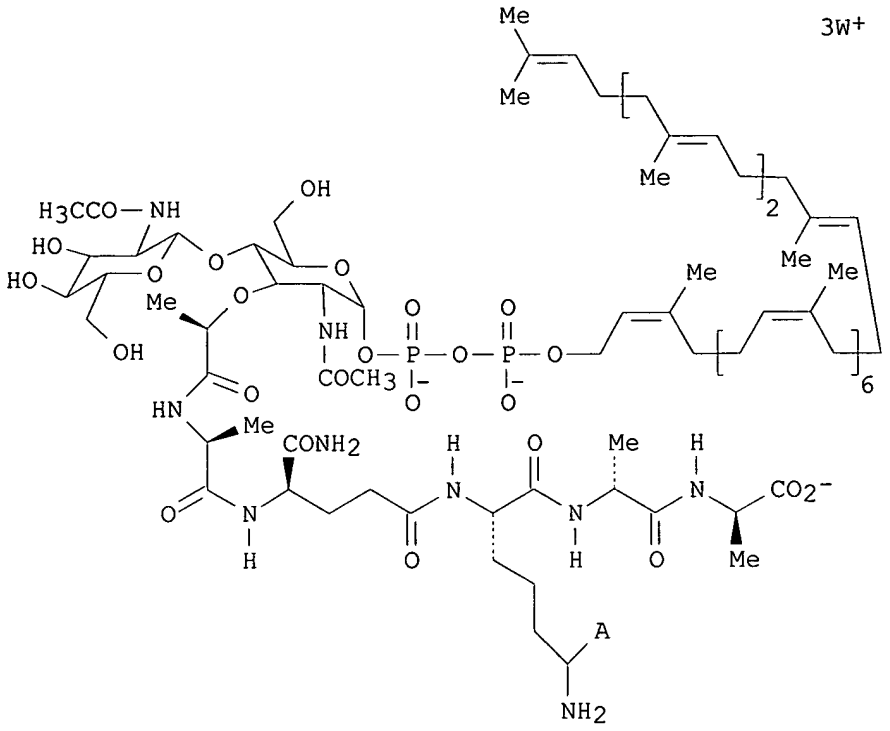
ACCESSION NUMBER: 2001:780923 CAPLUS
DOCUMENT NUMBER: 135:318657
TITLE: Process for preparing dansylated glycopeptide lipid II derivatives as substrate for the transglycosylase enzymes
INVENTOR(S): Alborn, William Ernest, Jr.; Blaszczak, Larry Chris; Mauldin, Scott Carl; Skatrud, Paul Luther; Vannieuwenhze, Michael Scott; Zia-Ebrahimi, Mohammad Sadegh
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079242	A2	20011025	WO 2001-US12637	20010418
WO 2001079242	A3	20020606		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-198000P P 20000418
OTHER SOURCE(S): CASREACT 135:318657; MARPAT 135:318657
GI



I

AB A process is described for prepg. a substrate for the transglycosylase enzymes of bacterial cell wall biosynthesis. The chem. synthesis makes available a sustainable and substantially pure source of supply of lipid II I wherein A is H, carboxyl group; W is H, alkali metal, alk. earth metal, ammonium, alkyl ammonium, dialkyl ammonium, including analogs thereof, that maybe used in the identification of new therapeutic agents capable of disrupting steps in bacterial cell wall bio-synthesis (no data). Thus, I (A = W = H) was prepd. via coupling of amino acids with glycosides as substrate for the transglycosylase enzyme (no data).

have

L6 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:168546 CAPLUS
DOCUMENT NUMBER: 134:363203
TITLE: Better Substrates for Bacterial Transglycosylases
AUTHOR(S): Ye, Xiang-Yang; Lo, Mei-Chu; Brunner, Livia; Walker, Deborah; Kahne, Daniel; Walker, Suzanne
CORPORATE SOURCE: Department of Chemistry, Princeton University, Princeton, NJ, 08544, USA
SOURCE: Journal of the American Chemical Society (2001), 123(13), 3155-3156
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:363203

AB The enzymes that synthesize the peptidoglycan layers surrounding bacterial cell membranes have received special attention because many known antibiotics function by blocking peptidoglycan synthesis. Among these enzymes, the bacterial transglycosylases (TGases) represent some of the most promising targets. TGases are located on the external surface of the bacterial membrane where they polymerize Lipid II, a disaccharide anchored to the membrane by a 55 carbon undecaprenyl chain. Although the TGases were first identified decades ago, their structures and mechanisms are not well understood. Some of the difficulties in studying TGases are related to problems obtaining and handling Lipid II. Because the 55 carbon chain

aggregates, assays utilizing Lipid II, which can be isolated only in small quantities from bacterial membranes, must include org. solvents, detergents, and other additives. Results can be variable, and it is difficult to det. whether problems are due to the enzymes or to the substrate. Better substrates would facilitate the study of TGases. To identify better TGase substrates, the authors have synthesized natural Lipid II as well as a set of analogs contg. different lipid chains. These compds. have been tested for their ability to function as TGase substrates. The results show that bacterial TGases have clear preferences with regard to the structure of the lipid chain, but they do not require the 55 carbon undecaprenyl moiety. In fact, the authors have identified a compd. with a shorter lipid chain that is a much better TGase substrate than natural Lipid II.

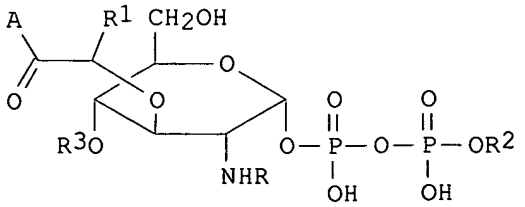
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:628161 CAPLUS
DOCUMENT NUMBER: 133:219451
TITLE: Bacterial transglycosylase assays using lipid II substrate analogs and methods for discovering new antibiotics
INVENTOR(S): Kahne, Suzanne W.
PATENT ASSIGNEE(S): Princeton University, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000052035	A1	20000908	WO 2000-US5554	20000303
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1159293	A1	20011205	EP 2000-914811	20000303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-122966P P 19990303
US 1999-137696P P 19990604
WO 2000-US5554 W 20000303

OTHER SOURCE(S): MARPAT 133:219451
GI



I

AB The invention provides a direct method for monitoring bacterial

transglycosylase activity using labeled substrates produced by chemo-enzymic synthesis wherein the labels are selected to permit the detection of both polymeric and non-polymeric products simultaneously, either directly or following the sepn. of product from starting material. The substrates are I (R = C.gtoreq.2-acyl; R1 = C.gtoreq.1-alkyl; R2 = C.gtoreq.5-alkyl/alkenyl; R3 =glucosaminyl group; A = amino acid or peptide, provided that I is not the natural substrate of the peptidoglycan transglycosylase, lipid II). The invention promotes the discovery of new antibiotics with activity against bacterial transglycosylases by (a) laying the groundwork for structural anal. of purified, active transglycosylase (which permits structure-based design); and (b) providing an assay that can be used to screen for inhibitors. A method of carrying out the invention comprises chemo-enzymic synthesis of a lipid I analog which contains a 10-carbon lipid chain in place of the naturally occurring 55-carbon chain. This lipid I analog is converted to a lipid II analog by attachment of GlcNAc. Thus, one lipid II analog may contain radiolabeled GlcNAc while another may be labeled with biotin. In the presence of a transglycosylase, a radiolabeled, biotin-tagged product is formed which may be isolated and quantitated using avidin affinity chromatog.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:188677 CAPLUS
DOCUMENT NUMBER: 133:287
TITLE: A new mechanism of action proposed for ramoplanin
AUTHOR(S): Lo, Mei-Chu; Men, Hongbin; Branstrom, Arthur; Helm, Jeremiah; Yao, Nan; Goldman, Robert; Walker, Suzanne
CORPORATE SOURCE: Department of Biology, Incara Pharmaceuticals, Cranbury, NJ, 08512, USA
SOURCE: Journal of the American Chemical Society (2000), 122(14), 3540-3541
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Evidence is presented to show that ramoplanin, a cyclic glycolilipodepsipeptide antibiotic, inhibits the polymn. of lipid II in addn. to lipid I as previously shown. The authors propose that another mechanism by which ramoplanin can kill bacterial cells is through inhibition of the transglycosylation step of peptidoglycan synthesis. Using a synthetic analog of Lipid II, evidence is presented that enzyme inhibition by ramoplanin involves substrate binding. Ramoplanin undergoes a conformational change upon substrate binding, and the resulting complexes self-assoc. to form fibrils. The significance of fibril formation is discussed.
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:495373 CAPLUS
DOCUMENT NUMBER: 131:141476
TITLE: Substrate analogs for MurG acetylglucosaminyltransferase and their chemical synthesis and uses in assays
INVENTOR(S): Kahne, Suzanne Walker; Men, Hongbin; Park, Peter; Ge, Min
PATENT ASSIGNEE(S): Princeton University, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938958	A1	19990805	WO 1999-US2187	19990202
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2320228	AA	19990805	CA 1999-2320228	19990202
AU 9925741	A1	19990816	AU 1999-25741	19990202
EP 1053305	A1	20001122	EP 1999-905617	19990202
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JP 2002501931	T2	20020122	JP 2000-529418	19990202
US 6413732	B1	20020702	US 1999-241862	19990202

PRIORITY APPLN. INFO.: US 1998-73376P P 19980202
WO 1999-US2187 W 19990202

OTHER SOURCE(S): MARPAT 131:141476

AB General methods for monitoring the activity of MurG, a UDP-N-acetylglucosamine:muramyl pentapeptide pyrophosphoryl N-acetylglucosaminyltransferase involved in bacterial cell wall biosynthesis, is disclosed. More particularly, the synthesis of simplified substrate analogs of Lipid I (the natural substrate for MurG), which function as acceptors for UDP-GlcNAc in an enzymic reaction catalyzed by MurG, is described. Assays using the substrate analogs of the invention are further disclosed, which are useful for identifying a variety of other substrates, including inhibitors of MurG activity, for facilitating mechanistic and/or structural studies of the enzyme, and for other uses. High throughput assays are also described.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:24773 CAPLUS
DOCUMENT NUMBER: 128:151618
TITLE: The lantibiotic mersacidin inhibits peptidoglycan synthesis by targeting lipid II
AUTHOR(S): Brotz, Heike; Bierbaum, Gabriele; Leopold, Klaus; Reynolds, Peter E.; Sahl, Hans-Georg
CORPORATE SOURCE: Institut fur Medizinische Mikrobiologie und Immunologie, Universitat Bonn, Bonn, D-53105, Germany
SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(1), 154-160
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The lantibiotic mersacidin exerts its bactericidal action by inhibition of peptidoglycan biosynthesis. It interferes with the membrane-assocd. transglycosylation reaction; during this step the ultimate monomeric peptidoglycan precursor, undecaprenyl-pyrophosphoryl-MurNAc-(pentapeptide)-GlcNAc (lipid II) is converted into polymeric nascent peptidoglycan. In the present study we demonstrate that the mol. basis of this inhibition is the interaction of mersacidin with lipid II. The adsorption of [14C]mersacidin to growing cells, as well as to isolated membranes capable of in vitro peptidoglycan synthesis, was strictly dependent on the availability of lipid II, and antibiotic inhibitors of lipid II formation strongly interfered with this binding. Direct evidence for the interaction was provided by studies with isolated lipid II. [14C]mersacidin assocd. tightly with [14C]lipid II micelles; the complex

was stable even in the presence of 1% sodium dodecyl sulfate. Furthermore, the addn. of isolated lipid II to the culture broth efficiently antagonized the bactericidal activity of mersacidin. In contrast to the glycopeptide antibiotics, complex formation does not involve the C-terminal D-alanyl-D-alanine moiety of the lipid intermediate. Thus, the interaction of mersacidin with lipid II apparently occurs via a binding site which is not targeted by any antibiotic currently in use.

=>

L3 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:495373 CAPLUS
DOCUMENT NUMBER: 131:141476
TITLE: Substrate analogs for MurG acetylglucosaminyltransferase and their chemical synthesis and uses in assays
INVENTOR(S): Kahne, Suzanne Walker; Men, Hongbin; Park, Peter; Ge, Min
PATENT ASSIGNEE(S): Princeton University, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938958	A1	19990805	WO 1999-US2187	19990202
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2320228	AA	19990805	CA 1999-2320228	19990202
AU 9925741	A1	19990816	AU 1999-25741	19990202
EP 1053305	A1	20001122	EP 1999-905617	19990202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002501931	T2	20020122	JP 2000-529418	19990202
US 6413732	B1	20020702	US 1999-241862	19990202

PRIORITY APPLN. INFO.: US 1998-73376P P 19980202
WO 1999-US2187 W 19990202
OTHER SOURCE(S): MARPAT 131:141476
AB General methods for monitoring the activity of MurG, a UDP-N-acetylglucosamine:muramyl pentapeptide pyrophosphoryl N-acetylglucosaminyltransferase involved in bacterial cell wall biosynthesis, is disclosed. More particularly, the synthesis of simplified substrate analogs of Lipid I (the natural substrate for MurG), which function as acceptors for UDP-GlcNAc in an enzymic reaction catalyzed by MurG, is described. Assays using the substrate analogs of the invention are further disclosed, which are useful for identifying a variety of other substrates, including inhibitors of MurG activity, for facilitating mechanistic and/or structural studies of the enzyme, and for other uses. High throughput assays are also described.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:24773 CAPLUS
DOCUMENT NUMBER: 128:151618
TITLE: The lantibiotic mersacidin inhibits peptidoglycan synthesis by targeting lipid II
AUTHOR(S): Brotz, Heike; Bierbaum, Gabriele; Leopold, Klaus; Reynolds, Peter E.; Sahl, Hans-Georg
CORPORATE SOURCE: Institut fur Medizinische Mikrobiologie und Immunologie, Universitat Bonn, Bonn, D-53105, Germany
SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(1), 154-160
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal
LANGUAGE: English

AB The lantibiotic mersacidin exerts its bactericidal action by inhibition of peptidoglycan biosynthesis. It interferes with the membrane-assocd. transglycosylation reaction; during this step the ultimate monomeric peptidoglycan precursor, undecaprenyl-pyrophosphoryl-MurNAc-(pentapeptide)-GlcNAc (lipid II) is converted into polymeric nascent peptidoglycan. In the present study we demonstrate that the mol. basis of this inhibition is the interaction of mersacidin with lipid II. The adsorption of [14C]mersacidin to growing cells, as well as to isolated membranes capable of in vitro peptidoglycan synthesis, was strictly dependent on the availability of lipid II, and antibiotic inhibitors of lipid II formation strongly interfered with this binding. Direct evidence for the interaction was provided by studies with isolated lipid II. [14C]mersacidin assocd. tightly with [14C]lipid II micelles; the complex was stable even in the presence of 1% sodium dodecyl sulfate. Furthermore, the addn. of isolated lipid II to the culture broth efficiently antagonized the bactericidal activity of mersacidin. In contrast to the glycopeptide antibiotics, complex formation does not involve the C-terminal D-alanyl-D-alanine moiety of the lipid intermediate. Thus, the interaction of mersacidin with lipid II apparently occurs via a binding site which is not targeted by any antibiotic currently in use.

L3 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:140840 CAPLUS
DOCUMENT NUMBER: 118:140840
TITLE: The murG gene of Escherichia coli codes for the UDP-N-acetylglucosamine:N-acetylmuramyl-(pentapeptide) pyrophosphoryl-undecaprenol N-acetylglucosamine transferase involved in the membrane steps of peptidoglycan synthesis
AUTHOR(S): Mengin-Lecreulx, Dominique; Texier, Laurent; Rousseau, Micheline; Van Heijenoort, Jean
CORPORATE SOURCE: Lab. Biochim. Mol. Cell., Univ. Paris-Sud, Orsay, Fr.
SOURCE: J. Bacteriol. (1991), 173(15), 4625-36
CODEN: JOBAAY; ISSN: 0021-9193
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Physiol. properties of the murG gene product of E. coli were investigated. The inactivation of the murG gene rapidly inhibits peptidoglycan synthesis in exponentially growing cells. As a result, various alterations of cell shape are obsd., and cell lysis finally occurs when the peptidoglycan content is 40% lower than that of normally growing cells. Anal. of the pools of peptidoglycan precursors reveals the concomitant accumulation of UDP-N-acetylglucosamine (UDP-GlcNAc) and UDP-N-acetylmuramyl-pentapeptide (UDP-MurNAc-pentapeptide) and, to a lesser extent, that of undecaprenyl-pyrophosphoryl-MurNAc-pentapeptide (lipid intermediate I), indicating that inhibition of peptidoglycan synthesis occurs after formation of the cytoplasmic precursors. The relative depletion of the 2nd lipid intermediate, undecaprenyl-pyrophosphoryl-MurNAc-(pentapeptide)GlcNAc, shows that inactivation of the murG gene product does not prevent the formation of lipid intermediate I but inhibits the next reaction in which GlcNAc is transferred to lipid intermediate I. In vitro assays for phospho-MurNAc-pentapeptide translocase and N-acetylglucosaminyl transferase activities finally confirm the identification of the murG gene product as the transferase that catalyzes the conversion of lipid intermediate I to lipid intermediate II in the peptidoglycan synthesis pathway. Plasmids allowing for a high overprodn. of the transferase and the detn. of its N-terminal amino acid sequence were constructed. In cell fractionation expts., the transferase is essentially assocd. with membranes when it is recovered.

L3 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:629857 CAPLUS
DOCUMENT NUMBER: 117:229857
TITLE: Membrane intermediates in the peptidoglycan metabolism of Escherichia coli: possible roles of PBP 1b and PBP 3. [Erratum to document cited in CA117(7):66267h]
AUTHOR(S): Van Heijenoort, Yveline; Gomez, Manolo; Derrien, Marcel; Ayala, Juan; Van Heijenoort, Jean
CORPORATE SOURCE: Cent. Natl. Rech. Sci., Univ. Paris-Sud, Orsay, 91405, Fr.
SOURCE: J. Bacteriol. (1992), 174(18), 6004
CODEN: JOBAAY; ISSN: 0021-9193
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An error in the Discussion has been cor. The error was not reflected in the abstr. or the index entries.

L3 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:466267 CAPLUS
DOCUMENT NUMBER: 117:66267
TITLE: Membrane intermediates in the peptidoglycan metabolism of Escherichia coli: possible roles of PBP 1b and PBP 3
AUTHOR(S): Van Heijenoort, Yveline; Gomez, Manolo; Derrien, Marcel; Ayala, Juan; Van Heijenoort, Jean
CORPORATE SOURCE: Cent. Natl. Rech. Sci., Univ. Paris-Sud, Orsay, 91405, Fr.
SOURCE: J. Bacteriol. (1992), 174(11), 3549-57
CODEN: JOBAAY; ISSN: 0021-9193
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The two membrane precursors (pentapeptide lipids I and II) of peptidoglycan are present in E. coli at cell copy nos. no higher than 700 and 2000 resp. Conditions were detd. for an optimal accumulation of pentapeptide lipid II from UDP-MurNAc-pentapeptide in a cell-free system and for its isolation and purifn. When UDP-MurNAc-tripeptide was used in the accumulation reaction, tripeptide lipid II was formed, and it was isolated and purified. Both lipids II were compared as substrates in the in vitro polymn. by transglycosylation assayed with PBP 1b or PBP 3. With PBP 1b, tripeptide lipid II was used as efficiently as pentapeptide lipid II. It should be stressed that the in vitro PBP 1b activity accounts for at best to 2 to 3% of the in vivo synthesis. With PBP 3, no polymn. was obsd. with either substrate. Furthermore, tripeptide lipid II was detected in D-cycloserine-treated cells, and its possible in vivo use in peptidoglycan formation is discussed. In particular, it is speculated that the transglycosylase activity of PBP 1b could be coupled with the transpeptidase activity of PBP 3, using mainly tripeptide lipid II as precursor.

L3 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:530373 CAPLUS
DOCUMENT NUMBER: 111:130373
TITLE: Determination of murein precursors during the cell cycle of Escherichia coli
AUTHOR(S): Kohlrausch, Utz; Wientjes, Frans B.; Holtje, Joachim Volker
CORPORATE SOURCE: Abt. Biochem., Max-Planck-Inst. Entwicklungsbiol., Tuebingen, D-7400, Fed. Rep. Ger.
SOURCE: J. Gen. Microbiol. (1989), 135(6), 1499-506
CODEN: JGMIAN; ISSN: 0022-1287
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A convenient and reliable method has been established that allows a quant. detn. of m-diamino[3H]pimelic acid-labeled murein precursors in 1 mL culture samples of E. coli. Prior to sepn. by reversed-phase HPLC the

lipid-linked intermediates were hydrolyzed to release the muropeptides. The accuracy for the measurement of UDP-N-acetylmuramylpentapeptide (UDP-MurNAc-pentapeptide) was .+-.1.9%, for undecaprenyl-P-P-MurNAc-pentapeptide (lipid I) .+-.10% and for undecaprenyl-P-P-(GlcNAc-.beta.1.fwdarw.4)MurNAc-pentapeptide (lipid II) .+-.5%. The ratio of UDP-MurNAc-pentapeptide:lipid I:lipid II was .apprx.300:1:3 for E. coli mC4100. The relative cellular concns. of all three precursor mols. were found not to vary throughout the cell cycle. It is concluded that elongation and division of the murein sacculus is not controlled by oscillations in the concns. of these late murein precursors.

L3 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:176254 CAPLUS
DOCUMENT NUMBER: 92:176254
TITLE: In vitro peptidoglycan polymerization catalyzed by penicillin binding protein 1b of Escherichia coli K-12
AUTHOR(S): Suzuki, Hideho; Van Heijenoort, Yveline; Tamura, Toshihide; Mizoguchi, Junzo; Hirota, Yukinori; Van Heijenoort, Jean
CORPORATE SOURCE: Natl. Inst. Genet., Mishima, 411, Japan
SOURCE: FEBS Lett. (1980), 110(2), 245-9
CODEN: FEBLAL; ISSN: 0014-5793
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In E. coli, the polymn. of peptidoglycan for cell wall formation is known to proceed at the expense of the lipid intermediate N-acetylglucosaminyl-N-acetylmuramyl-(pentapeptide)-pyrophosphoryl-undecaprenol (I) by formation of linear glycan strands (transglycosylation step) and crosslinking of the peptide subunits (transpeptidation step). In the present expts., penicillin-binding protein 1b (PBP-1b) of E. coli was shown to catalyze the polymn. of the purified radiolabeled I. This was shown by the fact that (1) no or very little transglycosylation activity was found in particulate fractions of E. coli defective in PBP-1b, and (2) purified PBP-1b catalyzed the transglycosylation reaction with I. It was difficult to draw clear conclusions about the presence or absence of catalysis of the transpeptidation reaction in PBP-1b prepns. because of the very low amts. of labeled D-alanine released.

L3 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1971:431843 CAPLUS
DOCUMENT NUMBER: 75:31843
TITLE: Shared lipid phosphate carrier in the biosynthesis of teichoic acid and peptidoglycan
AUTHOR(S): Watkinson, R. J.; Hussey, Helen; Baddiley, J.
CORPORATE SOURCE: Sch. Chem., Univ. Newcastle Upon Tyne, Newcastle upon Tyne, Engl.
SOURCE: Nature (London), New Biol. (1971), 229(2), 57-9
CODEN: NNBYA7
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Teichoic acid formation in Staphylococcus lactis I3 was slightly reduced when UDP-N-acetylmuramyl pentapeptide was added to the system; however, peptidoglycan and teichoic acid synthesis occurred simultaneously, indicating a competitive effect of peptidoglycan synthesis on teichoic acid synthesis. The principal product of the peptidoglycan biosynthetic route in these exptl. conditions was the lipid intermediate, N-acetylglucosaminyl-N-acetylmuramyl-pentapeptide undeca-prenol pyrophosphate, the formation of which would result in a redn. of available undecaprenol phosphate. If the latter agent is common to both pathways, then such redn. would account for the obsd. inhibition of teichoic acid synthesis. It is noteworthy that the inhibitory effect of the addn. of the peptidoglycan precursor was reduced by the addn. of UMP (I) thereby reversing the 1st step in the synthesis of peptidoglycan. Bacitracin and

vacomycin added alone had little or no effect on teichoic acid synthesis, but when added with UDP-N-acetylmuramyl pentapeptide under conditions where they effectively removed undeca-prenol phosphate, i.e., when peptidoglycan synthesis was taking place, they markedly increased the inhibition caused by the nucleotide alone. The inhibition of teichoic acid synthesis brought about by the addn. of both the peptidoglycan precursor and the antibiotics may be the result of undecaprenol phosphate being channelled into the peptidoglycan biosynthetic cycle. It follows that the same lipid carrier mols. are used for transporting precursors of both peptidoglycan and teichoic acid.

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(FILE 'HOME' ENTERED AT 07:49:46 ON 18 SEP 2002)

FILE 'AGRICOLA, ALUMINIUM, ANABSTR, AQUIRE, BABS, BIOCOMMERCE, BIOTECHNO, CABA, CAOLD, CAPLUS, CBNB, CEABA-VTB, CEN, CERAB, CIN, COMPENDEX, CONFSCI, COPPERLIT, CORROSION, DKILIT, ENCOMPLIT, ENCOMPLIT2, FEDRIP, GENBANK, INSPEC, INSPHYS, INVESTEXT, IPA, ...' ENTERED AT 07:50:18 ON 18 SEP 2002

L1	550	S	LIPID II
L2	134	S	L1 AND (PURI? OR ISOLAT?)
L3	81	S	L2 AND (SYNTHES? OR PREPAR?)
L4	6	S	L3 AND PROTECTING GROUP
L5	14	S	L3 AND PROTECT?

> d l5 1-14 ibib abs

L5 ANSWER 1 OF 14 SCISEARCH COPYRIGHT 2002 ISI (R)
ACCESSION NUMBER: 94:300984 SCISEARCH
THE GENUINE ARTICLE: NL363
TITLE: SYNTHETIC STUDIES ON AN OLIGOSACCHARIDE OF A GLYCOLIPID FROM THE 27ERMATOZOA OF BIVALVES .9. **SYNTHESES** OF LIPID-I, **LIPID-II**, AND LIPID-IV
AUTHOR: HADA N; TAKEDA T (Reprint); OGIHARA Y
CORPORATE SOURCE: NAGOYA CITY UNIV, FAC PHARMACEUT SCI, NAGOYA, AICHI 467, JAPAN (Reprint); NAGOYA CITY UNIV, FAC PHARMACEUT SCI, NAGOYA, AICHI 467, JAPAN
COUNTRY OF AUTHOR: JAPAN
SOURCE: CARBOHYDRATE RESEARCH, (20 MAY 1994) Vol. 258, pp. 93-104. ISSN: 0008-6215.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: PHYS; LIFE; AGRI
LANGUAGE: ENGLISH
REFERENCE COUNT: 20

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB Glycosphingolipids **isolated** from the spermatozoa of the freshwater bivalve, Hyriopsis schlegelii, have a unique structure containing one or two mannosyl residues and novel linkages, including an internal fucopyranosyl residue, as well as terminal xylosyl and 4-O-methyl-D-glucopyranosyluronic acid groups. The octasaccharide of lipid IV was **synthesized** as follows. Condensation of methyl (2-acetamido-4,6-di-O-acetyl-2-deoxy-3-O-methyl-alpha -D-galactopyranosyl)-(1 --> 3)-[methyl(2,3-di-O-acetyl-4-O-methyl-beta-D-glucopyranosyluronate)-(1 --> 4)]-2-O-benzyl-1-thio-alpha,beta-L-fucopyranoside (18) with (3-O-acetyl-6-O-benzyl-2-deoxy-2-phthalimido-beta-D-glucopyranosyl)-(1 --> 2)-(3,4,6-tri-O-acetyl-alpha-D-mannopyranosyl)-(1 --> 3)-[(2,3,4-tri-O-acetyl-beta-D-xylopyranosyl)-(1 --> 2)]-(4,6-di-O-acetyl-beta-D-mannopyranosyl)-(1 --> 4)-2,3-di-O-acetyl-1,6-anhydro-beta-D-glucopyranose (14), in the presence of dimethyl (methylthio) sulfonium triflate (DMTST), gave the corresponding octasaccharide (19). Removal of the **protecting** groups gave 2-acetamido-2-deoxy-3-O-methyl-alpha-D-galactopyranosyl-(1 --> 3)-[4-O-methyl-beta-D-glucopyranosyl uronic acid-(1 --> 4)]-alpha-L-fucopyranosyl(1 --> 4)-2-acetamido-2-deoxy-beta-D-glucopyranosyl-(1 --> 2)-alpha-D-mannopyranosyl-(1 --> 3)-[beta-D-xylopyranosyl-(1 --> 2)]-beta-D-mannopyranosyl-(1 --> 4)-1,6-anhydro-beta-D-glucopyranose (22). The other two oligosaccharides that constitute the partial structure of lipid IV, called lipid I and II, were also **synthesized**.

L5 ANSWER 2 OF 14 USPATFULL
ACCESSION NUMBER: 2002:160539 USPATFULL
TITLE: Substrate analogs that substitute for lipid I as a substrate for MurG
INVENTOR(S): Kahne, Suzanne Walker, Princeton, NJ, United States
Men, Hongbin, Princeton, NJ, United States
Park, Peter, East Rutherford, NJ, United States
Ge, Min, Princeton, NJ, United States
PATENT ASSIGNEE(S): The Trustees of Princeton University, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6413732	B1	20020702	
APPLICATION INFO.:	US 1999-241862		19990202	(9)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1998-73376P	19980202	(60)

DOCUMENT TYPE: Utility

FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Naff, David M.
ASSISTANT EXAMINER: Meller, Mike
LEGAL REPRESENTATIVE: Woodcock Washburn LLP
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 11 Drawing Figure(s); 8 Drawing Page(s)
LINE COUNT: 1599
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB General methods for monitoring the activity of MurG, a GlcNAc transferase involved in bacterial cell wall biosynthesis, is disclosed. More particularly, the **synthesis** of simplified substrate analogs of Lipid I (the natural substrate for MurG), which function as acceptors for UDP-GlcNAc in an enzymatic reaction catalyzed by MurG, is described. Assays using the substrate analogs of the invention are further disclosed, which are useful for identifying a variety of other substrates, including inhibitors of MurG activity, for facilitating mechanistic and/or structural studies of the enzyme and for other uses. High throughput assays are also described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 3 OF 14 USPATFULL
ACCESSION NUMBER: 2002:78708 USPATFULL
TITLE: Vancomycin analogs
INVENTOR(S): Kahne, Daniel, Princeton, NJ, UNITED STATES
Walker, Suzanne, Princeton, NJ, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002042365	A1	20020411	
APPLICATION INFO.:	US 2001-818787	A1	20010328	(9)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2000-199382P	20000425	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: KENYON & KENYON, Suite 700, 1500 K Street, N.W., Washington, DC, 20005
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
LINE COUNT: 1528
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Compounds that are vancomycin analogs bearing terminal carboxyl group modifications as well as modifications to the vancosamine nitrogen and, optionally, modifications to the C6 position of the glucose residue attached to the amino acid four of the vancomycin heptapeptide chain are disclosed. Methods of making the compounds and methods of using the compounds to treat a bacterial infection in a host are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 4 OF 14 USPATFULL
ACCESSION NUMBER: 2002:75050 USPATFULL
TITLE: Gastrointestinal mucosa-adherent matrixes pharmaceutical **preparations** and a coating composition
INVENTOR(S): Akiyama, Yohko, Osaka, JAPAN
Nagahara, Naoki, Amagasaki, JAPAN
Hirai, Shin-ichiro, Kyoto, JAPAN
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6368635	B1	20020409
APPLICATION INFO.:	US 1997-993314		19971218 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1996-697166, filed on 20 Aug 1996, now patented, Pat. No. US 5731006 Division of Ser. No. US 1995-412591, filed on 29 Mar 1995, now patented, Pat. No. US 5576025 Continuation of Ser. No. US 1994-200539, filed on 22 Feb 1994, now abandoned Continuation of Ser. No. US 1992-870637, filed on 20 Apr 1992, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1991-116745	19910419
	JP 1991-225155	19910809

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Webman, Edward J.
LEGAL REPRESENTATIVE: Wenderoth, Lind & Ponack, L.L.P.
NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1411
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A solid matrix composition which is solid at ambient temperature, which comprises a viscogenic agent, such as an acrylic acid polymer, capable of developing viscosity on contact with water, as dispersed at least in the neighborhood of the surface layer of a matrix particle containing a polyglycerol fatty acid ester or a lipid and an active ingredient. The matrix may be such that a matrix particle containing a polyglycerol fatty acid ester or a lipid and an active ingredient has been coated with a coating composition containing at least one viscogenic agent. Such composition can adhere to the digestive tract and remain there for a prolonged period of time, thereby increasing the bioavailability of the active ingredient. Solid **preparations**, such as fine granules and granules, contain the above matrix composition.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 5 OF 14 USPATFULL

ACCESSION NUMBER: 2001:229657 USPATFULL
TITLE: Method for nucleic acid transfection of cells
INVENTOR(S): Bennett, Michael J., El Sobrante, CA, United States
Rothman, Stephan S., Berkeley, CA, United States
Nantz, Michael H., Davis, CA, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001051610	A1	20011213
APPLICATION INFO.:	US 2001-766320	A1	20010118 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-487089, filed on 19 Jan 2000, PENDING
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PENNIE AND EDMONDS, 1155 AVENUE OF THE AMERICAS, NEW YORK, NY, 100362711
NUMBER OF CLAIMS: 44
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 2324
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention describes methods for introducing nucleic acids into a target cell using a transition metal enhancer. A mixture

containing nucleic acid and a transition metal enhancer is exposed to cells. The nucleic acid is taken up into the interior of the cell with the aid of the transition metal enhancer. Since nucleic acids can encode a gene, the method can be used to replace a missing or defective gene in the cell. The method can also be used to deliver exogenous nucleic acids operatively coding for proteins that are secreted or released from target cells, thus resulting in a desired biological effect outside the cell. Alternatively, the methods of the present invention can be used to deliver exogenous nucleic acids into a target cell that are capable of regulating the expression of a predetermined endogenous gene. This can be accomplished by encoding the predetermined endogenous gene on the nucleic acid or by encoding the nucleic acid with a sequence that is the Watson-Crick complement of the mRNA corresponding to the endogenous gene.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 6 OF 14 USPATFULL
ACCESSION NUMBER: 2001:173162 USPATFULL
TITLE: Inhibition of selectin binding
INVENTOR(S): Nagy, Jon O., Rodeo, CA, United States
Spevak, Wayne R., Albany, CA, United States
Dasgupta, Falguni, New Delhi, India
Bertozzi, Caroline, Albany, CA, United States
PATENT ASSIGNEE(S): The Regents of the University of California, Oakland, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6299897	B1	20011009
APPLICATION INFO.:	US 1999-440880		19991115 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-250999, filed on 16 Feb 1999, now patented, Pat. No. US 5985852 Division of Ser. No. US 1997-807428, filed on 28 Feb 1997, now patented, Pat. No. US 5962422

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-12894P	19960301 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Fonda, Kathleen Kahler
LEGAL REPRESENTATIVE: Aston, David J., Mahoney, John W.
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 15 Drawing Figure(s); 8 Drawing Page(s)
LINE COUNT: 2083
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention provides compositions for inhibiting the binding between two cells, one expressing P- or L-selectin on the surface and the other expressing the corresponding ligand. A covalently crosslinked lipid composition is **prepared** having saccharides and acidic group on separate lipids. The composition is then interposed between the cells so as to inhibit binding. Inhibition can be achieved at an effective oligosaccharide concentration as low as 10.sup.6 fold below that of the free saccharide. Since selectins are involved in recruiting cells to sites of injury, these composition scan be used to palliate certain inflammatory and immunological conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 7 OF 14 USPATFULL
ACCESSION NUMBER: 1999:155236 USPATFULL
TITLE: Biphasic lipid vesicle composition for transdermal

administration of an immunogen
INVENTOR(S): Foldvari, Marianna, Saskatchewan, Canada
Baca-Estrada, Maria, Saskatchewan, Canada
PATENT ASSIGNEE(S): PharmaDerm Laboratories LTD., Saskatchewan, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5993852		19991130
APPLICATION INFO.:	US 1998-141875		19980827 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-57597P	19970829 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kishore, Gollamudi S.
LEGAL REPRESENTATIVE: Mohr, Judy M.Dehlinger & Associates
NUMBER OF CLAIMS: 28
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 14 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 1154
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A composition for transdermal administration of an immunogen is described. The immunogen is entrapped in lipid vesicles having a oil-in-water emulsion in the central core compartment. The vesicles are administered transdermally to elicit an immune response in a subject.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 8 OF 14 USPATFULL
ACCESSION NUMBER: 1998:33606 USPATFULL
TITLE: Gas and gaseous precursor filled microspheres as topical and subcutaneous delivery vehicles
INVENTOR(S): Unger, Evan C., Tucson, AZ, United States
Matsunaga, Terry O., Tucson, AZ, United States
Yellowhair, David, Tucson, AZ, United States
PATENT ASSIGNEE(S): ImaRx Pharmaceutical Corp., Tucson, AZ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5733572		19980331
APPLICATION INFO.:	US 1994-346426		19941129 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-307305, filed on 16 Sep 1994 Ser. No. Ser. No. US 1993-159687, filed on 30 Nov 1993, now patented, Pat. No. US 5585112 Ser. No. Ser. No. US 1993-160232, filed on 30 Nov 1993, now patented, Pat. No. US 5542935 And Ser. No. US 1993-159674, filed on 30 Nov 1993, now abandoned , said Ser. No. US -159687 Ser. No. Ser. No. US -160232 And Ser. No. US -159674 , each Ser. No. US - which is a continuation-in-part of Ser. No. US 1993-76239, filed on 11 Jun 1993, now patented, Pat. No. US 5469854 And Ser. No. US 1993-76250, filed on 11 Jun 1993, now patented, Pat. No. US 5580575 , said Ser. No. US -76239 And Ser. No. US -76250 , each Ser. No. US - which is a continuation-in-part of Ser. No. US 1991-717084, filed on 18 Jun 1991, now patented, Pat. No. US 5228446 And Ser. No. US 1991-716899, filed on 18 Jun 1991, now abandoned , said Ser. No. US -717084 And Ser. No. US -716899 , each Ser. No. US - which is a continuation-in-part of Ser. No. US 1990-569828, filed on 20 Aug 1990, now patented, Pat. No. US 5088499

which is a continuation-in-part of Ser. No. US 1989-455707, filed on 22 Dec 1989, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kishore, Gollamudi S.
LEGAL REPRESENTATIVE: Woodcock Washburn Kurtz Mackiewicz & Norris LLP
NUMBER OF CLAIMS: 60
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 4174
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Gas and gaseous precursor filled microspheres, and foams thereof, provide novel topical and subcutaneous delivery vehicles for various active ingredients, including drugs and cosmetics.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 9 OF 14 USPATFULL
ACCESSION NUMBER: 97:7685 USPATFULL
TITLE: Lipid-A analogs: new monosaccharide and disaccharide intermediates for eliciting therapeutic antibodies and for antitumor and antiviral activities
INVENTOR(S): Kamireddy, Balreddy, Hockessin, DE, United States
Darsley, Michael J., Rockville, MD, United States
Simpson, David M., Adelphi, MD, United States
Massey, Richard J., Rockville, MD, United States
PATENT ASSIGNEE(S): Igen, Inc., Gaithersburg, MD, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5597573		19970128
APPLICATION INFO.:	US 1995-405438		19950314 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1991-761868, filed on 3 Sep 1991 And a continuation-in-part of Ser. No. US 1993-37261, filed on 26 Mar 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-871229, filed on 17 Apr 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-861362, filed on 27 Mar 1992, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kim, Kay K. A.
LEGAL REPRESENTATIVE: Curtis, Morris & Safford, Evans, Barry, Salkeld, Pamela G.
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 53 Drawing Figure(s); 53 Drawing Page(s)
LINE COUNT: 4095
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to novel amidine components of formula (II): ##STR1## A method for eliciting antibodies in an animal which bind to Lipid A or LPS comprising administering to the animal as an immunogen a composition comprising such a compound is also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 10 OF 14 USPATFULL
ACCESSION NUMBER: 97:3817 USPATFULL
TITLE: Lipid-A analogs: monosaccharide and dissaccharide compounds for inhibiting binding of lipid A receptors to lipid A receptors
INVENTOR(S): Kamireddy, Balreddy, Rockville, MD, United States
Darsley, Michael J., Rockville, MD, United States

Simpson, David M., Adelphi, MD, United States
Massey, Richard J., Rockville, MD, United States
PATENT ASSIGNEE(S): IGEN Incorporated, Gaithersburg, MD, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5593969		19970114
APPLICATION INFO.:	US 1993-123590		19930917 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1992-871229, filed on 17 Apr 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-861362, filed on 27 Mar 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-761868, filed on 3 Sep 1991
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kunz, Gary L.
ASSISTANT EXAMINER: Fonda, Kathleen Kahler
LEGAL REPRESENTATIVE: Curtis, Morris & Safford, Evans, Barry, Salkeld, Pamela G.
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 47 Drawing Figure(s); 47 Drawing Page(s)
LINE COUNT: 4116
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of the formula: ##STR1## wherein: each of R.sub.1, R.sub.1 ', R.sub.2 and R.sub.2 ' independent of each other is a substituted or unsubstituted, branched or linear C.sub.1-12 alkyl, alkene or alkyne group, R.sub.3 is OH, OCH.sub.3, CH.sub.2 COOH or ##STR2## wherein each of R.sub.2" and R.sub.2 '41 independent of each other is a substituted or unsubstituted, branched or linear C.sub.1-12 alkyl, alkene or alkyne group and:

A=NH.sub.2, X=P(OH), Y=Z=C, B=OCH.sub.3, or

A=OH, X=P(OH), X=Z=C, B (if present)=OCH.sub.3, or

A=OCO(CH.sub.2).sub.n NH.sub.2, X=P(OH), Y=Z=C, B=OCH.sub.3,

wherein n=1-10, or

A=OH, X=P(OH), Y=Z=C, B=O(CH.sub.2).sub.n CO.sub.2 H, wherein n=1-10, or

A=OH, X=P(OH), Y=Z=C, B=(CH.sub.2).sub.n CO.sub.2 H, wherein n=1-10, or

A=NH.sub.2, X=Z=C, Y=P(OH), B=OCH.sub.3, or

A=OH, X=Z=C, Y=P(OH), B (if present)=OCH.sub.3, or

A=OCO(CH.sub.2).sub.n NH.sub.2, X=Z=C, Y=P(OH), B=OCH.sub.3, wherein n=1-10, or

A=OH, X=Z=C, Y=P(OH), B=O(CH.sub.2).sub.n CO.sub.2 H, wherein n=1-10, or

A=OH, X=Z=C, Y=P(OH), B=(CH.sub.2).sub.n CO.sub.2 H, wherein n=1-11, or

A=NH.sub.2, X=Y=C, Z=P(OH), B=OCH.sub.3, or

A=OH, X=Y=C, Z=P(OH), B (if present)=OCH.sub.3, or

A=OCO(CH.sub.2).sub.n NH.sub.2, X=Y=C, Z=P(OH), B=OCH.sub.3, wherein n=1-10, or

A=OH, X=Y=C, Z=P(OH), B=O(CH.sub.2).sub.n CO.sub.2 H, wherein n=1-10, or

A=OH, X=Y=C, Z=P (OH), B=(CH.sub.2).sub.n CO.sub.2 H and n=1-11 is disclosed. The compounds may be use to inhibit binding of Lipid A to Lipid A receptors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 11 OF 14 USPATFULL

ACCESSION NUMBER:	94:97334 USPATFULL
TITLE:	Cosmetic, dermo-pharmaceutical or vesicle-containing composition including clycerol-derived compounds
INVENTOR(S):	Zysman, Alexandre, Paris, France Sebag, Henri, Paris, France Ribier, Alain, Paris, France Vanlerberghe, Guy, Villevaude, France Mahieu, Claude, Paris, France Berthelot, Claude, Les Pavillons Sous Bois, France
PATENT ASSIGNEE(S):	L'Oreal, Paris, France (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5362494		19941108	
APPLICATION INFO.:	US 1992-910174		19920714	(7)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1990-14149	19901114
	FR 1991-10128	19910808

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Lovering, Richard D.
LEGAL REPRESENTATIVE:	Cushman, Darby & Cushman
NUMBER OF CLAIMS:	18
EXEMPLARY CLAIM:	1,9
LINE COUNT:	1389

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 12 OF 14 USPATFULL

ACCESSION NUMBER:	93:41823 USPATFULL
TITLE:	Solid tumor treatment method and composition
INVENTOR(S):	Martin, Francis J., San Francisco, CA, United States Woodle, Martin C., Menlo Park, CA, United States Redemann, Carl, Walnut Creek, CA, United States Yau-Young, Annie, Palo Alto, CA, United States
PATENT ASSIGNEE(S):	Liposome Technology, Inc., Menlo Park, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5213804		19930525	
APPLICATION INFO.:	US 1991-642321		19910115	(7)

DISCLAIMER DATE:	20080507
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1989-425224, filed on 20 Oct 1989, now patented, Pat. No. US 5013556, issued on 7 May 1991
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Page, Thurman K.
LEGAL REPRESENTATIVE:	Dehlinger, Peter J.
NUMBER OF CLAIMS:	15
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	34 Drawing Figure(s); 18 Drawing Page(s)
LINE COUNT:	2350

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A liposome composition for localizing an anti-tumor compound to a solid tumor via the bloodstream. The liposomes, which contain the agent in entrapped form, are composed of vesicle-forming lipids and between 1-20 mole percent of a vesicle-forming lipid derivatized with hydrophilic biocompatible polymer, and have sizes in a selected size range between 0.07 and 0.12 microns. After intravenous administration, the liposomes are taken up by the tumor within 24-48 hours, for site-specific release of entrapped compound into the tumor. In one composition for use in treating a solid tumor, the compound is an anthracycline antibiotic drug which is entrapped in the liposomes at a concentration of greater than about 50 .mu.g agent/.mu.mole liposome lipid. The method results in regression of solid colon and breast carcinomas which are refractory to anthracycline antibiotic drugs administered in free form or entrapped in conventional liposomes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 13 OF 14 USPATFULL

ACCESSION NUMBER:	92:70063 USPATFULL
TITLE:	Methods of **preparing** pro-liposome dispersions and aerosols
INVENTOR(S):	Leigh, Steven, London, United Kingdom
PATENT ASSIGNEE(S):	Phares Pharmaceutical Research N.V., Curacao, Netherlands Antilles (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5141674		19920825
APPLICATION INFO.:	US 1991-719661		19910624 (7)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1988-282340, filed on 30 Nov 1988, now abandoned which is a continuation of Ser. No. US 1985-709796, filed on 3 Aug 1985, now abandoned And Ser. No. US 1988-171148, filed on 21 Mar 1988, now patented, Pat. No. US 5004611		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1986-13811	19860606

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Stoll, Robert L.
ASSISTANT EXAMINER:	Covert, John M.
LEGAL REPRESENTATIVE:	Klauber & Jackson
NUMBER OF CLAIMS:	31
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT:	781

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions that are sprayable or that are in the form of discrete particles and that contain a lipid and a biologically active compound in the form of a micronized powder combine a high initial entrapment of the active compound in the membrane lipid with sustained release at the site of application as indicated by in-vitro and in-vivo tests. In a first form pro-liposomes are **prepared** by spraying under pressure through a nozzle a single composition comprising at least one volatile liquid propellant, at least one membrane lipid that is at least partly dissolved or dispersed in the propellant and at least one biologically active compound that is present in dispersion in the propellant and/or the lipid, the composition being free from other solvent for the lipid. In a second form the membrane lipid and the biologically active compound are minor components of micronized solid particles whose major component is a physiologically acceptable solid carrier.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 14 OF 14 USPATFULL

ACCESSION NUMBER:	92:66102 USPATFULL
TITLE:	Polypeptide thin film
INVENTOR(S):	Miyasaka, Tsutomu, Kanagawa, Japan Ono, Mitsunori, Kanagawa, Japan Nishikawa, Naoyuki, Kanagawa, Japan
PATENT ASSIGNEE(S):	Fuji Photo Film Co., Ltd., Kanagawa, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5138026		19920811	
APPLICATION INFO.:	US 1990-480699		19900215	(7)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1989-35870	19890215
	JP 1989-140785	19890602

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Anderson, Harold D.
LEGAL REPRESENTATIVE:	Sughrue, Mion, Zinn, Macpeak & Seas
NUMBER OF CLAIMS:	10
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	8 Drawing Figure(s); 7 Drawing Page(s)
LINE COUNT:	830

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A polypeptide thin film obtained by polymerizing a monomolecular film comprising an amphiphilic compound having a hydrophobic moiety and a hydrophilic moiety having an amino acid ester structure per molecule, the conjugated acid of the elimination group of said ester having a pKa of not higher than 14, or a built-up film of said monomolecular film; and a process for **preparing** a material on which said polypeptide thin film is carried.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.